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## INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Sheet

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		Complete if Known	
		Application Number	10/602,694
		Filing Date	June 20, 2003
		First Named Inventor	Sommadossi et al
		Group Art Unit	1614
		Examiner Name	Unassigned
		Attorney Docket Number	06171.105073 IDX 1006 CON1

3425633 1

## U.S. PATENT DOCUMENTS

Examiner Initials *	Cite No. <sup>1</sup>	U.S. Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pgs, Clmns, Lns, Where Relevant Passages/Relevant Figs Appear
		Number	Kind Code <sup>2</sup> (if known)			
(S)	AA	3,480,613	A	Walton et al.	11-25-1969	
	AB	5,977,061	A	De Clercq	11-02-1999	
	AC	6,340,690	B1	Bachand et al.	01-22-2002	
	AD	6,348,587	B1	Schinazi et al.	02-2002	
	AE	6,395,716	B1	Gosselin et al. (Novirio / Idenix)	05-28-2002	
	AF	6,444,652	B1	Gosselin et al. (Novirio / Idenix)	09-03-2002	
	AG	6,573,248	B1	Ramasamy et al.	06-03-2003	
	AH	2002/0019363	A1	Ismaili et al.	02-2002	
	AI	2002/0055483	A1	Watanabe et al.	05-09-2002	
	AJ	2002/0147160	A1	Bhat et al.	10-10-2002	
	AK	2003/008841	A1	Devos et al.	01-09-2003	
	AL	2003/028013	A1	Wang et al.	02-06-2003	
	AM	2003/0050229	A1	Sommadossi et al.	03-13-2003	
	AN	2003/0060400	A1	LaColla et al.	03-27-2003	
V	AO	2003/0083307	A1	Devos et al.	05-01-2003	
	AP	2003/0087873	A1	Stuyver et al.	05-08-2003	

## FOREIGN PATENT DOCUMENTS

Examiner Initials *	Cite No. <sup>1</sup>	Foreign Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T <sup>6</sup>
		Office <sup>3</sup> Number	Kind Code <sup>2</sup> (if known)				
(S)	AQ	FR	1,521,076	A	Merck & Co. Inc.	04-12-1968	
	AR	FR	1,581,628	A	Merck & Co. Inc.	09-19-1969	
	AS	FR	2,662,165	A	Univ. Paris Curie	11-22-1991	
	AT	GB	1,163,103	A	Merck & Co. Inc.	09-04-1969	
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	AV	JP	63-215694	A	Yamasa Shoyu Co. Ltd.	09-08-1988	
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	AX	WO	98/16184	A2	ICN Pharmaceuticals	04-23-1998	
V	AY	WO	99/43691	A1	Emory U.; U.Ga.R.F.	02-09-1999	
	AZ	WO	00/09531	A2	Novirio Pharm. (Idenix)	02-24-2000	
	AAA	WO	01/32153	A2	Biochem Pharma	05-10-2001	

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ea	BA	WO	01/60315	A2	Biochem Pharma	08-23-2001		
	BB	WO	01/68663	A1	ICN Pharmaceuticals	09-20-2001		
	BC	WO	01/79246	A2	Pharmasset	10-25-2001		
	BD	WO	01/90121	A2	Novirio Pharm. (Idenix)	11-29-2001		
	BE	WO	01/91737	A2	Novirio Pharm. (Idenix)	06-12-2001		
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	BI	WO	02/18404	A2	F. Hoffmann-La Roche	03-07-2002		
	BJ	WO	02/32920	A2	Pharmasset	04-25-2002		
	BK	WO	02/48165	A2	Pharmasset	06-20-2002		
	BL	WO	02/057287	A2	Merck & Co. Inc.	07-25-2002		
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	BO	WO	02/094289	A1	F. Hoffmann-La Roche	11-28-2002		
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	BQ	WO	03/026589	A2	Idenix; CNRS; U. Montp.	04-03-2003		
	BR	WO	03/026675	A1	Idenix; CNRS; U. Montp.	04-03-2003		
	BS	WO	03/051899	A1	Ribapharm	06-26-2003		
	BT	WO	03/061385	A1	Ribapharm	07-31-2003		
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	BV	WO	03/062255	A2	Ribapharm	07-31-2003		
	BW	WO	03/062256	A1	Ribapharm	07-31-2003		
	BX	WO	03/062257	A1	Ribapharm	07-31-2003		
	BY	WO	03/063771	A2	Pharmasset	08-07-2003		
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	BAA	WO	03/072757	A2	Biota Inc.	09-04-2003		
✓	BAB	WO	03/093290	A2	Genelabs Technologies	11-13-2003		
	BAC	WO	04/002422	A2	Idenix; Univ.D.S.Cagliari	01-08-2004		
ts	BAD	WO	04/002999	A2	Idenix; Univ.D.S.Cagliari	01-08-2004		

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**OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS**

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(H)	CA	ALTMANN et al, "The synthesis of 1'-methyl carbocyclic thymidine and its effect on nucleic acid duplex stability," <i>Synlett, Thieme Verlag, Stuttgart, De</i> , 10:853-855 (1994).	
	CB	BAGINSKI, S. G, et al, "Mechanism of action of a pestivirus antiviral compound," <i>PNAS USA</i> , 97(14):7981-7986 (2000).	
	CC	BEIGELMAN, L.N., et al, "Epimerization during the acetolysis of 3-O-acetyl-5-O-benzoyl-1,2-O-isopropylidene-3-C-methyl- $\alpha$ ,D-ribofuranose. Synthesis of 3'-C-methylnucleosides with the $\beta$ -D-ribo- and $\alpha$ -D-arabino configurations," <i>Carbohydrate Research</i> , 181:77-88 (1988).	
	CD	BEIGELMAN, L.N., et al, "A general method for synthesis of 3'-C-alkylnucleosides," <i>Nucleic Acids Symp. Ser.</i> , 9:115-118 (1981).	
	CE	BERENGUER, M., et al, "Hepatitis B and C viruses: Molecular identification and targeted antiviral therapies," <i>Proceedings of the Association of American Physicians</i> , 110(2), 98-112 (1998).	
	CF	CARROLL, S.S., et al, "Inhibition of hepatitis C virus RNA replication by 2'-modified nucleoside analogs," <i>The Journal of Biological Chemistry</i> , 278(14):11979-11984 (2003).	
	CG	CZERNECKI, S., et al, "Synthesis of various 3'-branched 2',3'-unsaturated pyrimidine nucleosides as potential anti-HIV agents," <i>J. Org. Chem.</i> , 57:7325-7328 (1992).	
	CH	DE FRANCESCO, R., et al, "Approaching a new era for hepatitis C virus therapy: Inhibitors of the NS3-4A serine protease and the NSSB RNA-dependent RNA polymerase," <i>Antiviral Research</i> , 58:1-16 (2003).	
	CI	FAIVRE-BUET, V., et al, "Synthesis of 1'-deoxypsicofuranosyl-deoxynucleosides as potential anti-HIV agents," <i>Nucleosides &amp; Nucleotides</i> , 11(7):1411-1424 (1992).	
	CJ	FARKAS, J., et al, "Nucleic acid components and their analogues. XCIV. Synthesis of 6-amino-9-(1-deoxy- $\beta$ -D-psicofuranosyl)purine", <i>Collect. Czech. Chem. Commun.</i> 32:2663-2667 (1967).	
	CK	FARKAS, J., et al, "Nucleic acid components and their analogues. LXXIX. Synthesis of methyl 1-deoxy-D-psicofuranosides substituted at C <sub>(1)</sub> with halo atoms or a mercapto group," <i>Collect. Czech. Chem. Commun.</i> , 31:1535-1543 (1996).	
	CL	FEDOROV, I.I., et al, "3'-C-Branched 2'-deoxy-5-methyluridines: Synthesis, enzyme inhibition, and antiviral properties," <i>J. Med. Chem.</i> , 35(24):4567-4575 (1992).	
	CM	FRANCHETTI, P., et al, "2'-C-Methyl analogues of selective adenosine receptor agonists: synthesis and binding studies," <i>J. Med. Chem.</i> , 41(10):1708-1715 (1998).	
	CN	GROUILLER, A., et al, "Novel p-toluenesulfonylation and thionocarbonylation of unprotected thymine nucleosides," <i>Synlett</i> , 1993, 221-222 (March 1993).	
(D)	CO	HARAGUCHI, K., et al, "Preparation and reactions of 2'- and 3'- vinyl bromides of uracil nucleosides: Versatile synthons for anti-HIV agents," <i>Tetrahedron Letters</i> , 32(28):3391-3394 (1991).	

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**OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS**

Examiner Initials *	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>2</sup>
(b)	DA	HARAGUCHI, K., et al., "Stereoselective synthesis of 1'-C-branched uracil nucleosides from uridine," <i>Nucleosides &amp; Nucleotides</i> , 14(3-5):417-420 (1995).	
	DB	HARRY-O'KURU, R.E., et al., "A short, flexible route toward 2'-C-branched ribonucleosides", <i>J.Org. Chem.</i> , 62:1754-1759 (1997). (Scheme 11).	
	DC	HARRY-O'KURU, R.E., et al., "2'-C-Alkylribonucleosides: Design, synthesis, and conformation," <i>Nucleosides &amp; Nucleotides</i> , 16(7-9):1457-1460 (1997). ("Rogers" in #2; correct name in #7)	
	DD	HATTORI, H., et al., "Nucleosides and nucleotides. 175. Structural requirements of the sugar moiety for the antitumor activities of new nucleoside antimetabolites, 1-(3-C-ethynyl-D-ribo-pentofuranosyl)cytosine and -uracil," <i>J. Med. Chem.</i> , 41:2892-2902 (1998).	
	DE	HREBABECKY, H., et al., "Nucleic acid components and their analogues. CXLIX. Synthesis of pyrimidine nucleosides derived from 1-deoxy-D-psicose," <i>Collect. Czech. Chem. Commun.</i> , 37:2059-2065 (1972).	
	DF	HREBABECKY, H., et al. "Synthesis of 7- and 9β-D-psicofuranosylguanine and their 1'-deoxy derivatives," <i>Collect. Czech. Chem. Commun.</i> , 39:2115-2123 (1974).	
	DG	IINO, T., et al., "Nucleosides and nucleotides. 139. Stereoselective synthesis of (2'S)-2'-C-alkyl-2'-deoxyuridines," <i>Nucleosides and Nucleotides</i> , 15(1-3):169-181 (1996).	
	DH	ITOH, Y., et al., "Divergent and stereocontrolled approach to the synthesis of uracil nucleosides branched at the anomeric position," <i>J. Org. Chem.</i> , 60(3):656-662 (1995).	
	DI	JOHNSON, C.R., et al., "3'-C-Trifluoromethyl ribonucleosides," <i>Nucleosides &amp; Nucleotides</i> , 14(1&2):185-194 (1995).	
	DJ	KAWANA, M., et al., "The deoxygenation of tosylated adenosine derivatives with Grignard reagents," <i>Nucleic Acids Symp. Ser.</i> , 17:37-40 (1986).	
	DK	LAVAIRE, S., et al., "3'-Deoxy-3'-C-trifluoromethyl nucleosides: Synthesis and antiviral evaluation," <i>Nucleosides &amp; Nucleotides</i> , 17(12):2267-2280 (1998).	
	DL	LEYSEN, P. et al., "Perspectives for the treatment of infections with Flaviviridae," <i>Clinical Microbiology Reviews</i> (Washington, D.C.), 13(1):67-82 (January 2000).	
	DM	MARTIN, X., et al., "Intramolecular hydrogen bonding in primary hydroxyl of thymine 1-(1-deoxy-β-D-psicofuranosyl) nucleoside," <i>Tetrahedron</i> , 50(22):6689-6694 (1994).	
↓	DN	MATSUDA, A., et al., "Radical deoxygenation of <u>tert</u> -alcohols in 2'-branched-chain sugar pyrimidine nucleosides: Synthesis and antileukemic activity of 2'-deoxy-2'-(S)-methylcytidine," <i>Chem. Pharm. Bull.</i> , 35(9):3967-3970 (1987).	
(b)	DO	MATSUDA, A., et al., "Alkyl addition reaction of pyrimidine 2'-ketonucleosides: Synthesis of 2'-branched-chain sugar pyrimidine nucleosides (Nucleosides and Nucleotides. LXXXI)," <i>Chem. Pharm. Bull.</i> , 36(3):945-953 (1988).	

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(D)	EA	MATSUDA, A., et al., "Nucleosides and Nucleotides. 94. Radical deoxygenation of <i>tert</i> -alcohols in 1-(2-C-alkylpentofuranosyl)pyrimidines: Synthesis of (2'S)-2'-deoxy-2'-C-methylcytidine, an antileukemic nucleoside," <i>J. Med. Chem.</i> , 34:234-239 (1991).
	EB	MATSUDA, A., et al., "Nucleosides and Nucleotides. 104. Radical and palladium-catalyzed deoxygenation of the allylic alcohol systems in the sugar moiety of pyrimidine nucleosides," <i>Nucleosides &amp; Nucleotides</i> , 11(2/4):197-226 (1992).
	EC	MIKHAILOV, S.N., et al., "Synthesis and properties of 3'C-methylnucleosides and their phosphoric esters," <i>Carbohydrate Research</i> , 124:75-96 (1983).
	ED	MIKHAILOV, S.N., et al., "Substrate properties of C'-methylnucleoside and C'-methyl-2'-deoxynucleoside 5'-triphosphates in RNA and DNA synthesis reactions catalysed by RNA and DNA polymerases," <i>Nucleosides &amp; Nucleotides</i> , 10(1-3):339-343 (1991).
	EE	MIKHAILOV, S.N., et al., "Hydrolysis of 2'- and 3'-C-methyluridine 2'c3'-cyclic monophosphates and interconversion and dephosphorylation of the resulting 2'- and 3'-monophosphates: Comparison with the reactions of uridine monophosphates," <i>J. Org. Chem.</i> , 57 (15):4122-4126 (1992).
	EF	NUTT, R.F., et al., "Branched-chain sugar nucleosides. III. 3'-C-methyladenine", <i>J.Org. Chem.</i> , 33:1789-1795 (1968).
	EG	OIVANEN, M., et al., "Additional evidence for the exceptional mechanism of the acid-catalyzed hydrolysis of 4-oxopyrimidine nucleosides: Hydrolysis of 1-(1-alkoxyalkyl)uracils, seconucleosides, 3'-C-alkyl nucleosides and nucleoside 3',5'-cyclic monophosphates," <i>J. Chem. Soc. Perkin Trans. 2</i> , 1994:309-314 (1994).
	EH	ONG, S.P., et al., "Synthesis of 3'-C-methyladenosine and 3'-C-methyluridine diphosphates and their interaction with the ribonucleoside diphosphate reductase from <i>Corynebacterium nephridii</i> ," <i>Biochemistry</i> , 31(45):11210-11215 (1992).
	EI	Oral Session V, Hepatitis C Virus, Flaviviridae; 16 <sup>th</sup> International Conference on Antiviral Research (April 27, 2003, Savannah, Ga.) p A75-77.
	EJ	PAN-ZHOU, X-R., et al., "Differential effects of antiretroviral nucleoside analogs on mitochondrial function in HepG2 cells," <i>Antimicrob. Agents Chemother.</i> , 44:496-503 (2000).
V	EK	ROSENTHAL, A., et al., "Branched-chain sugar nucleosides. Synthesis of 3'-C-ethyl (and 3'-C-butyl)uridine <i>Carbohydrate Research</i> , 79:235-242 (1980).
(D)	EL	SAMANO, V., et al., "Synthesis and radical-induced ring-opening reactions of 2'-deoxyadenosine-2'-spirocyclopropane and its uridine analogue. Mechanistic probe for ribonucleotide reductases," <i>J. Am. Chem. Soc.</i> , 114:4007-4008 (1992).

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## OTHER PRIOR ART - NON PATENT LITERATURE DOCUMENTS

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(D)	FA	SAMANO, V., <i>et al.</i> , "Nucleic acid related compounds. 77. 2',3'-Didehydro-2',3'-dideoxy-2'(and 3')-methylnucleosides via [3,3]-sigmatropic rearrangements of 2'(and 3')-methylene-3'(and 2')-O-thiocarbonyl derivatives and radical reduction of a 2'-chloro-3'-methylene analogue," <i>Can. J. Chem.</i> , 71:186-191 (1993).	
	FB	SCHMIT, C., <i>et al.</i> , "The effects of 2'- and 3'-alkyl substituents on oligonucleotide hybridization and stability," <i>Biorganic &amp; Medicinal Chemistry Letters</i> , 4(16):1969-1974 (1994). ["Altmann"]	
	FC	SERAFINOWSKI, P.J., <i>et al.</i> , "New method for the preparation of some 2'- and 3'-trifluoromethyl-2',3'-dideoxyuridine derivatives," <i>Tetrahedron</i> (Elsevier Science Publishers), 56(2):333-339 (1999).	
.	FD	SHARMA, P.K., <i>et al.</i> , "Synthesis of 3'-trifluoromethyl nucleosides as potential antiviral agents," <i>Nucleosides, Nucleotides and Nucleic Acids</i> , 19(4):757-774 (2000).	
:	FE	SOMMADOSSI J-P., <i>et al.</i> , "Comparison of cytotoxicity of the (-) and (+)-enantiomer of 2',3'-dideoxy-3'-thiacytidine in normal human bone marrow progenitor cells" <i>Biochemical Pharmacology</i> , 44:1921-1925 (1992).	
	FF	SOMMADOSSI J-P., <i>et al.</i> , "Toxicity of 3'-azido-3'-deoxythymidine and 9-(1,3-dihydroxy-2-propoxymethyl)guanine for normal human hematopoietic progenitor cells in vitro" <i>Antimicrobial Agents and Chemotherapy</i> , 31:452-454 (1987).	
	FG	TRITSCH, D., <i>et al.</i> , "3'-β-ethynyl and 2'-deoxy-3'-β-ethynyl adenosines: First 3'-β-branched adenosines substrates of adenosine deaminase," <i>Bioorganic &amp; Medicinal Chemistry Letters</i> , 10:139-141 (2000).	
	FH	TUNITSKAYA, V.L., <i>et al.</i> , "Substrate properties of C'-methyl UTP derivatives in T7 RNA polymerase reactions. Evidence for N-type NTP conformation," <i>FEBS Letters</i> , 400:263-266 (1997).	
	FI	USUI, H., <i>et al.</i> , "Synthesis of 2'-deoxy-8,2'-ethanoadenosine and 3'-deoxy-8,3'-ethanoadenosine (Nucleosides and Nucleotides. LXIV)," <i>Chem. Pharm. Bull.</i> , 34(1):15-23 (1986).	
	FJ	WALCZAK, K., <i>et al.</i> , "Synthesis of 1-(3-alkyl-2,3-dideoxy-D-pentofuranosyl)uracils with potential anti-HIV activity," <i>Acta Chemica Scand.</i> , 45:930-934 (1991).	
	FK	WALTON, E., <i>et al.</i> , "Branched-chain sugar nucleosides. V. Synthesis and antiviral properties of several branched-chain sugar nucleotides," <i>J. Med. Chem.</i> , 12:306-309 (1969).	
V	FL	WOLFE, M.S., <i>et al.</i> , "A concise synthesis of 2'-C-methylribonucleosides," <i>Tetrahedron Letters</i> , 36(42):7611-7614 (1995).	
(C)	FM	WU, J.-C., <i>et al.</i> , "A new stereospecific synthesis of [3.1.0] bicyclic cyclopropane analog of 2',3'-dideoxyuridine," <i>Tetrahedron</i> , 46(7):2587-2592 (1990).	

Examiner Signature

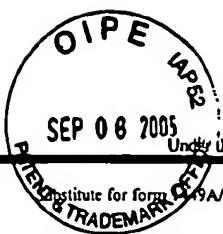
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## INFORMATION DISCLOSURE STATEMENT BY APPLICANT

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Application Number	10/602,694
Filing Date	June 20, 2003
First Named Inventor	Sommadossi et al.
Group Art Unit	1623
Examiner Name	Travis C. McIntosh, III
Attorney Docket Number	06171.105073 IDX 1006 CON 1 US

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### U.S. PATENT DOCUMENTS

Examiner Initials *	Cite No. <sup>1</sup>	U.S. Patent Document		Name of Patentee or Applicant of Cited Document	Date of Publication of Cited Document MM-DD-YYYY	Pages, Columns, Lines, Where Relevant Passages/Relevant Figures Appear	T <sup>6</sup>
		Number	Kind Code (if known)				
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	AB	6,495,677	B1	Ramasamy et al.	12-17-2002		
	AC	6,566,344	B1	Gosselin et al.	05-20-2003		
	AD	6,566,365	B1	Storer	05-20-2003		
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	AF	6,605,614	B2	Bachand et al.	08-12-2003		
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	AAC	2004-0063622	A1	LaColla et al.	04-01-2004		
	AAD	2004-0063658	A1	Roberts et al.	04-01-2004		
	AAE	2004-0067901	A1	Bhat et al.	04-08-2004		
	AAF	2004-0072788	A1	Bhat et al.	04-15-2004		

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Sheet 2 of 2

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		Number	Kind Code <sup>2</sup> (if known)				
	BA	2004-0097461	A1	Sommadossi et al.	05-20-2004		
	BB	2004-0097462	A1	LaColla et al.	05-20-2004		
	BC	2004-0101535	A1	Sommadossi et al.	05-27-2004		
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	BE	2004-0110717	A1	Carroll, et al.	06-10-2004		
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	BH	2004-0248844	A1	Ismaili et al.	12-09-2004		
	BI	2005-0009737	A1	Clark, et al.	01-13-2005		
	BJ	2005-0090463	A1	Roberts, et al.	04-28-2005		
	BK	2005-0101550	A1	Roberts, et al.	05-12-2005		
	BL	2005-0107312	A1	Keicher, et al.	05-19-2005		
	BM	2005-0119200	A1	Roberts, et al.	06-02-2005		
	BN	2005-0124532	A1	Sommadossi et al.	06-09-2005		
	BO	2005-0137161	A1	Sommadossi et al.	06-23-2005		

**FOREIGN PATENT DOCUMENTS**

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		Office <sup>3</sup>	Number	Kind Code <sup>2</sup> (if known)				
(b)	BP	FR	2,662,165	A1	Univ. Pier et Curie	11-22-1991	provided as Derwent Abstract	
(b)	BQ	JP	63-215694	A2	Yamasa Shoyu Co. Ltd.	09-08-1988	provided as Delphion Abstract	
(b)	BR	JP	06-228186	A2	Yamasa Shoyu Co. Ltd.	08-16-1994	provided as Delphion Abstract	

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